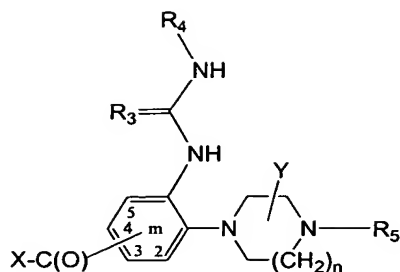


What is claimed is:

1. A compound of formula (I):



formula (I)

and enantiomers, diastereomers and pharmaceutically acceptable salts thereof, wherein:

X-C(O)- is a substituent moiety having a variable position "m", wherein "m" represents

5 a carbon atom number corresponding to a point of attachment for the X-C(O)- substituent moiety on the anilino ring of formula (I);

X is selected from the group consisting of

- (i) R₁-NH- (amino optionally substituted with R₁); and,
- 10 (ii) a heterocyclyl ring optionally substituted with R₂, said heterocyclyl ring having at least one nitrogen atom member, wherein the nitrogen atom member forms the point of attachment for said heterocyclyl ring on the -C(O)- portion of X-C(O)-;

15 R₁ and R₂ are independently selected from the group consisting of hydrogen and C₁₋₈alkyl, wherein C₁₋₈alkyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₈)alkylamino, di(C₁₋₈)alkylamino, cyano, halogen, hydroxy, nitro and carboxyl;

20

R₃ is selected from the group consisting of O and S;

R₄ is selected from the group consisting of

- (a) C₁₋₈alkyl optionally substituted with one or more substituents independently
- 25 selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is

- optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- 5 (b) carbonyl(C₁₋₈)alkyl, wherein the C₁₋₈alkyl portion of the carbonyl(C₁₋₈)alkyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino,
- 10 di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (c) carbonyl(C₂₋₈)alkenyl, wherein the C₂₋₈alkenyl portion of the carbonyl(C₂₋₈)alkenyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and
- 15 aryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (d) C₃₋₈cycloalkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and
- 20 nitro;
- (e) benzofused dioxolyl;
- (f) benzofused dioxinyl;
- 25 (g) aryl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,
- (h) carbonyl-aryl, wherein the aryl portion of the carbonyl-aryl is optionally
- 30 substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

R₅ is one substituent selected from the group consisting of

- (i) C₁₋₈alkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, aryl and heteroaryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and wherein said heteroaryl is optionally substituted on a secondary amine atom with C₁₋₈alkyl, and optionally and independently substituted on a carbon atom with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (j) C₃₋₈cycloalkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and
- (k) aryl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

Y is one or more optionally present C₁₋₈alkyl substituents optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, C₃₋₈cycloalkyl, aryl and heteroaryl, wherein said C₃₋₈cycloalkyl, aryl and heteroaryl are optionally further substituted;

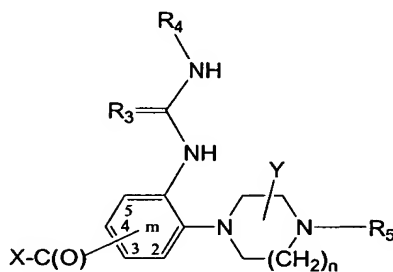
m is an integer from 2 to 5 which represents the carbon atom number corresponding to the point of attachment for the X-C(O)- substituent moiety on the anilino ring of formula (I); and, n is an integer from 1 to 2.

2. The compound of claim 1, wherein when X is R₁-NH-, R₁ is hydrogen and R₄ is C₁₋₈alkyl, then R₄ is substituted C₁₋₈alkyl.

3. The compound of claim 1, wherein when R_4 is unsubstituted C_{1-8} alkyl, then X is a heterocyclyl ring optionally substituted with R_2 .

5 4. The compound of claim 1, wherein when R_4 is optionally substituted C_{1-8} alkyl, then R_5 is C_{1-8} alkyl substituted on one or more carbon atoms with one or more optionally substituted aryl substituents.

5. The compound of claim 1, wherein



formula (I)

10 and enantiomers, diastereomers and pharmaceutically acceptable salts thereof, wherein:
X-C(O)- is a substituent moiety having a variable position "m", wherein "m" represents a carbon atom number corresponding to a point of attachment for the X-C(O)- substituent moiety on the anilino ring of formula (I);

15 X is selected from the group consisting of

- (i) R_1 -NH- (amino optionally substituted with R_1); and,
- (ii) a heterocyclyl ring optionally substituted with R_2 , said heterocyclyl ring having at least one nitrogen atom member, wherein the nitrogen atom member forms the point of attachment for said heterocyclyl ring on the -C(O)- portion of X-C(O)-;

20 R_1 and R_2 are independently selected from the group consisting of hydrogen and C_{1-8} alkyl, wherein C_{1-8} alkyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, 25 mono(C_{1-8})alkylamino, di(C_{1-8})alkylamino, cyano, halogen, hydroxy, nitro and carboxyl;

R₃ is selected from the group consisting of O and S;

R₄ is selected from the group consisting of

- 5 (a) C₁₋₈alkyl optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- 10 (b) carbonyl(C₁₋₈)alkyl, wherein the C₁₋₈alkyl portion of the carbonyl(C₁₋₈)alkyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- 15 (c) carbonyl(C₂₋₈)alkenyl, wherein the C₂₋₈alkenyl portion of the carbonyl(C₂₋₈)alkenyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- 20 (d) C₃₋₈cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- 25 (e) benzofused dioxolyl;
- 30 (f) benzofused dioxinyl;
- (g) aryl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

and,

- (h) carbonyl-aryl, wherein the aryl portion of the carbonyl-aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

R₅ is one substituent selected from the group consisting of

- (i) C₁₋₈alkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, aryl and heteroaryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and
- wherein said heteroaryl is optionally substituted on a secondary amine atom with C₁₋₈alkyl, and optionally and independently substituted on a carbon atom with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (j) C₃₋₈cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and
- (k) aryl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

Y is one or two optionally present C₁₋₈alkyl substituents optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, C₃₋₈cycloalkyl, aryl and heteroaryl, wherein said C₃₋₈cycloalkyl, aryl and heteroaryl are optionally further substituted;

m is an integer from 2 to 5 which represents the carbon atom number corresponding to the point of attachment for the X-C(O)- substituent moiety on the anilino ring of formula (I); and, n is an integer from 1 to 2.

- 5 6. The compound of claim 5, wherein when X is R₁-NH-, R₁ is hydrogen and R₄ is C₁₋₈alkyl, then R₄ is substituted C₁₋₈alkyl.
7. The compound of claim 5, wherein when R₄ is unsubstituted C₁₋₈alkyl, then X is a heterocyclyl ring optionally substituted with R₂.
- 10 8. The compound of claim 5, wherein when R₄ is optionally substituted C₁₋₈alkyl, then R₅ is C₁₋₈alkyl substituted on one or two carbon atoms with one or two optionally substituted aryl substituents.
- 15 9. The compound of claim 1, wherein R₁ is selected from the group consisting of hydrogen and C₁₋₈alkyl, wherein C₁₋₈alkyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₈)alkylamino, di(C₁₋₈)alkylamino and carboxyl.
- 20 10. The compound of claim 1, wherein R₂ is selected from the group consisting of hydrogen and C₁₋₆alkyl, wherein C₁₋₆alkyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino and carboxyl.
- 25 11. The compound of claim 1, wherein R₄ is selected from the group consisting of
- (a) C₁₋₄alkyl optionally substituted with one aryl substituent, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₄alkyl, C₁₋₄alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- 30 (c) carbonyl(C₂₋₄)alkenyl, wherein the C₂₋₄alkenyl portion of the carbonyl(C₂₋₄)alkenyl is substituted with one phenyl substituent, wherein said phenyl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₄alkyl, C₁₋₄alkoxy, amino,

- mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (d) C₃₋₈cycloalkyl;
- (e) benzofused dioxolyl;
- (f) benzofused dioxinyl;
- 5 (g) aryl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₄alkyl, C₁₋₄alkoxy, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, halogen and nitro; and,
- (h) carbonyl-phenyl, wherein the phenyl portion of the carbonyl-phenyl is optionally substituted with one substituent selected from the group consisting of
- 10 C₁₋₄alkyl, C₁₋₄alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro.
12. The compound of claim 1, wherein R₄ is selected from the group consisting of
- (a) C₁₋₄alkyl optionally substituted with one phenyl substituent, wherein said
- 15 phenyl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₄alkyl, C₁₋₄alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (c) carbonyl(C₂₋₄)alkenyl, wherein the C₂₋₄alkenyl portion of the carbonyl(C₂₋₄)alkenyl is substituted with one phenyl substituent;
- 20 (d) C₃₋₈cycloalkyl;
- (e) benzofused dioxolyl;
- (f) benzofused dioxinyl;
- (g) phenyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₄alkyl, C₁₋₄alkoxy, di(C₁₋₄)alkylamino, halogen and nitro; and,
- 25 (h) carbonyl-phenyl, wherein the phenyl portion of the carbonyl-phenyl is optionally substituted with one halogen substituent.
13. The compound of claim 1, wherein R₄ is selected from the group consisting of
- 30 (a) C₁₋₄alkyl optionally substituted with one phenyl substituent;
- (c) carbonyl(C₂₋₄)alkenyl, wherein the C₂₋₄alkenyl portion of the carbonyl(C₂₋₄)alkenyl is substituted with one phenyl substituent;
- (d) C₅₋₆cycloalkyl;

- (e) 1,3-benzodioxol-5-yl;
(f) 2,3-dihydro-1,4-benzodioxinyl;
(g) phenyl optionally substituted with one or two substituents independently
selected from the group consisting of C₁₋₄alkyl, C₁₋₄alkoxy, di(C₁₋₄)alkylamino,
5 chlorine, fluorine and nitro; and,
(h) carbonyl-phenyl, wherein the phenyl portion of the carbonyl-phenyl is
optionally substituted with one chlorine substituent.
14. The compound of claim 1, wherein R₅ is one substituent selected from the group
10 consisting of
(i) C₁₋₄alkyl optionally substituted with one or two substituents independently
selected from the group consisting of C₁₋₄alkoxy, amino, mono(C₁₋₄)alkylamino,
di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, aryl and heteroaryl, wherein
said aryl is optionally substituted one or two substituents independently selected
15 from the group consisting of C₁₋₄alkyl, C₁₋₄alkoxy, amino,
mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
(j) C₃₋₈cycloalkyl; and,
(k) aryl optionally substituted with one or two substituents independently selected
from the group consisting of C₁₋₄alkyl, C₁₋₄alkoxy, amino,
20 mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro.
15. The compound of claim 1, wherein R₅ is one substituent selected from the group
consisting of
(i) C₁₋₄alkyl optionally substituted with one or two substituents independently
25 selected from the group consisting of phenyl and pyridinyl; wherein said phenyl
is optionally substituted with one chlorine or one fluorine substituent;
(j) cyclohexyl; and,
(k) fluorenyl or phenyl, wherein said phenyl is optionally substituted with one
C₁₋₄alkoxy substituent.
30
16. The compound of claim 1, wherein X is selected from the group consisting of
(i) R₁-NH- wherein R₁ is selected from the group consisting of hydrogen and
C₁₋₈alkyl, wherein C₁₋₈alkyl is optionally substituted with one or two

substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and carboxyl; and,

- 5 (ii) a heterocyclyl ring selected from the group consisting of piperazinyl and hexahydro-1H-1,4-diazepinyl optionally substituted with R₂, wherein one piperazinyl and hexahydro-1H-1,4-diazepinyl ring nitrogen atom member forms the point of attachment for said ring on the -C(O)- portion of X-C(O)-, wherein R₂ is selected from the group consisting of hydrogen and C₁₋₈alkyl;

- 10 R₃ is selected from the group consisting of O and S;

R₄ is selected from the group consisting of

- (a) C₁₋₈alkyl optionally substituted with aryl;
- (c) carbonyl(C₂₋₈)alkenyl, wherein the C₂₋₈alkenyl portion of the
- 15 carbonyl(C₂₋₈)alkenyl is substituted with aryl;
- (d) C₃₋₈cycloalkyl;
- (e) 1,3-benzodioxol-5-yl;
- (g) aryl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, di(C₁₋₄)alkylamino, halogen
- 20 and nitro; and,
- (h) carbonyl-aryl, wherein the aryl portion of the carbonyl-aryl is optionally substituted with one halogen substituent;

R₅ is one substituent selected from the group consisting of

- 25 (i) C₁₋₈alkyl substituted with one or two substituents independently selected from the group consisting of aryl and heteroaryl, wherein said aryl is optionally substituted with one halogen substituent;
- (j) C₃₋₈cycloalkyl; and,
- (k) aryl optionally substituted with one C₁₋₈alkoxy substituent;

30

m is an integer from 2 to 5 which represents the carbon atom number corresponding to the point of attachment for the X-C(O)- substituent moiety on the anilino ring of formula (I); and, n is an integer from 1 to 2.

17. The compound of claim 16, wherein

R₁ is selected from the group consisting of hydrogen and C₁₋₈alkyl, wherein C₁₋₈alkyl is optionally substituted with one substituent independently selected from the group consisting of amino, mono(C₁₋₈)alkylamino, di(C₁₋₈)alkylamino and carboxyl;

R₂ is selected from the group consisting of hydrogen and C₁₋₆alkyl;

10 R₄ is selected from the group consisting of

(a) C₁₋₄alkyl optionally substituted with one phenyl substituent;

(c) carbonyl(C₂₋₄)alkenyl, wherein the C₂₋₄alkenyl portion of the carbonyl(C₂₋₄)alkenyl is substituted with one phenyl substituent;

(d) C₅₋₆cycloalkyl;

15 (e) 1,3-benzodioxol-5-yl;

(f) 2,3-dihydro-1,4-benzodioxinyl;

(g) phenyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₄alkyl, C₁₋₄alkoxy, di(C₁₋₄)alkylamino, chlorine, fluorine and nitro; and,

20 (h) carbonyl-phenyl, wherein the phenyl portion of the carbonyl-phenyl is optionally substituted with one chlorine substituent;

R₅ is one substituent selected from the group consisting of

25 (i) C₁₋₄alkyl optionally substituted with one or two substituents independently selected from the group consisting of phenyl and pyridinyl; wherein said phenyl is optionally substituted with one chlorine or one fluorine substituent;

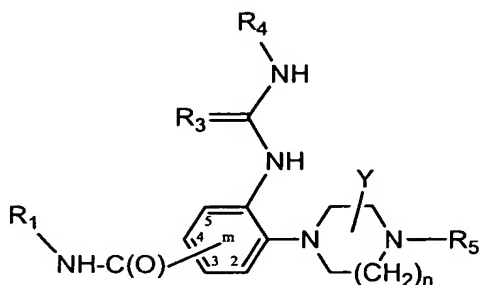
(j) cyclohexyl; and,

(k) fluorenyl or phenyl, wherein said phenyl is optionally substituted with one C₁₋₄alkoxy substituent;

30

m is an integer from 3 to 4 which represents the carbon atom number corresponding to the point of attachment for the X-C(O)- substituent moiety on the anilino ring of formula (I); and, n is 1.

18. The compound of claim 1, wherein the compound of formula (I) is selected from a compound of formula (Ia):



formula (Ia)

and enantiomers, diastereomers and pharmaceutically acceptable salts thereof, wherein:

- 5 R_1 -NH-C(O)- is a substituent moiety having a variable position “m”, wherein “m” represents a carbon atom number corresponding to a point of attachment for the R_1 -NH-C(O)- substituent moiety on the anilino ring of formula (Ia);

- 10 R_1 is selected from the group consisting of hydrogen and C_{1-8} alkyl, wherein C_{1-8} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C_{1-8})alkylamino, di(C_{1-8})alkylamino, cyano, halogen, hydroxy, nitro and carboxyl;

R_3 is selected from the group consisting of O and S;

15

R_4 is selected from the group consisting of

- (a) C_{1-8} alkyl optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C_{1-4})alkylamino, di(C_{1-4})alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C_{1-8} alkyl, C_{1-8} alkoxy, amino, mono(C_{1-4})alkylamino, di(C_{1-4})alkylamino, cyano, halogen, hydroxy and nitro;
- 20 (b) carbonyl(C_{1-8})alkyl, wherein the C_{1-8} alkyl portion of the carbonyl(C_{1-8})alkyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C_{1-4})alkylamino, di(C_{1-4})alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally
- 25

- substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (c) carbonyl(C₂₋₈)alkenyl, wherein the C₂₋₈alkenyl portion of the carbonyl(C₂₋₈)alkenyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (d) C₃₋₈cycloalkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (e) benzofused dioxolyl;
- (f) benzofused dioxinyl;
- (g) aryl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,
- (h) carbonyl-aryl, wherein the aryl portion of the carbonyl-aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

R₅ is one substituent selected from the group consisting of

- (i) C₁₋₈alkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, aryl and heteroaryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano,

halogen, hydroxy and nitro; and

wherein said heteroaryl is optionally substituted on a secondary amine atom with C₁₋₈alkyl, and optionally and independently substituted on a carbon atom with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

(j) C₃₋₈cycloalkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and

(k) aryl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

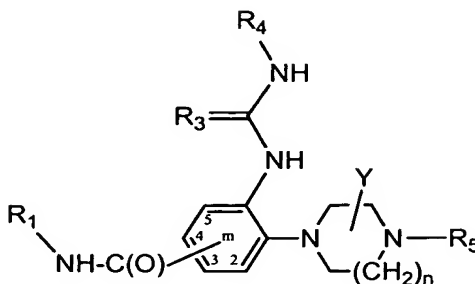
Y is one or more optionally present C₁₋₈alkyl substituents optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, C₃₋₈cycloalkyl, aryl and heteroaryl, wherein said C₃₋₈cycloalkyl, aryl and heteroaryl are optionally further substituted;

m is an integer from 2 to 5 which represents the carbon atom number corresponding to the point of attachment for the R₁-NH-C(O)-substituent moiety on the anilino ring of formula (Ia); and, n is an integer from 1 to 2.

19. The compound of claim 18, wherein when the R₁-NH-C(O)- substituent moiety is NH₂-C(O)- and R₄ is C₁₋₈alkyl, then R₄ is substituted C₁₋₈alkyl.

20. The compound of claim 18, wherein when R₄ is optionally substituted C₁₋₈alkyl, then R₅ is C₁₋₈alkyl substituted on one or more carbon atoms with one or more optionally substituted aryl substituents.

21. The compound of claim 1, wherein the compound of formula (I) is selected from a compound of formula (Ia):



formula (Ia)

and enantiomers, diastereomers and pharmaceutically acceptable salts thereof, wherein:

R₁-NH-C(O)- is a substituent moiety having a variable position “m”, wherein “m”

- 5 represents a carbon atom number corresponding to a point of attachment for the R₁-NH-C(O)- substituent moiety on the anilino ring of formula (Ia);

- R₁ is selected from the group consisting of hydrogen and C₁₋₈alkyl, wherein C₁₋₈alkyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₈)alkylamino, di(C₁₋₈)alkylamino, cyano, halogen, hydroxy, nitro and carboxyl;

R₃ is selected from the group consisting of O and S;

- 15 R₄ is selected from the group consisting of

- (a) C₁₋₈alkyl optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (b) carbonyl(C₁₋₈)alkyl, wherein the C₁₋₈alkyl portion of the carbonyl(C₁₋₈)alkyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group

- consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (c) carbonyl(C₂₋₈)alkenyl, wherein the C₂₋₈alkenyl portion of the carbonyl(C₂₋₈)alkenyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (d) C₃₋₈cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (e) benzofused dioxolyl;
- (f) benzofused dioxinyl;
- (g) aryl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,
- (h) carbonyl-aryl, wherein the aryl portion of the carbonyl-aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- R₅ is one substituent selected from the group consisting of
- (i) C₁₋₈alkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, aryl and heteroaryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and wherein said heteroaryl is optionally substituted on a secondary amine atom

with C₁₋₈alkyl, and optionally and independently substituted on a carbon atom with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

- 5 (j) C₃₋₈cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and
- (k) aryl optionally substituted with one or two substituents independently selected
10 from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

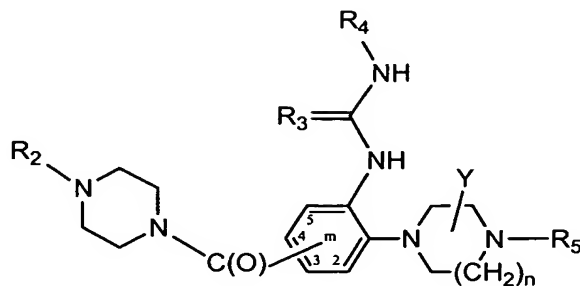
Y is one or two optionally present C₁₋₈alkyl substituents optionally substituted with one or two substituents independently selected from the group consisting of amino,
15 mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, C₃₋₈cycloalkyl, aryl and heteroaryl, wherein said C₃₋₈cycloalkyl, aryl and heteroaryl are optionally further substituted;

m is an integer from 2 to 5 which represents the carbon atom number corresponding to
20 the point of attachment for the R₁-NH-C(O)-substituent moiety on the anilino ring of formula (Ia); and, n is an integer from 1 to 2.

22. The compound of claim 21, wherein when the R₁-NH-C(O)- substituent moiety is NH₂-C(O)- and R₄ is C₁₋₈alkyl, then R₄ is substituted C₁₋₈alkyl.

25 23. The compound of claim 21, wherein when R₄ is optionally substituted C₁₋₈alkyl, then R₅ is C₁₋₈alkyl substituted on one or two carbon atoms with one or two optionally substituted aryl substituents.

24. The compound of claim 1, wherein the compound of formula (I) is selected from a compound of formula (Ib):



formula (Ib)

and enantiomers, diastereomers and pharmaceutically acceptable salts thereof, wherein:

(4- R_2)-1-piperazinyl-C(O)- is a substituent moiety having a variable position “m”,

- 5 wherein “m” represents a carbon atom number corresponding to a point of attachment for the (4- R_2)-1-piperazinyl-C(O)- substituent moiety on the anilino ring of formula (Ib);

- 10 R_2 is selected from the group consisting of hydrogen and C_{1-8} alkyl, wherein C_{1-8} alkyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C_{1-8})alkylamino, di(C_{1-8})alkylamino, cyano, halogen, hydroxy, nitro and carboxyl;

- 15 R_3 is selected from the group consisting of O and S;

R_4 is selected from the group consisting of

- (a) C_{1-8} alkyl optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C_{1-4})alkylamino, di(C_{1-4})alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is
20 optionally substituted with one or more substituents independently selected from the group consisting of C_{1-8} alkyl, C_{1-8} alkoxy, amino, mono(C_{1-4})alkylamino, di(C_{1-4})alkylamino, cyano, halogen, hydroxy and nitro;
- (b) carbonyl(C_{1-8})alkyl, wherein the C_{1-8} alkyl portion of the carbonyl(C_{1-8})alkyl is
25 optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C_{1-4})alkylamino, di(C_{1-4})alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally

- substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (c) carbonyl(C₂₋₈)alkenyl, wherein the C₂₋₈alkenyl portion of the carbonyl(C₂₋₈)alkenyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (d) C₃₋₈cycloalkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (e) benzofused dioxolyl;
- (f) benzofused dioxinyl;
- (g) aryl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,
- (h) carbonyl-aryl, wherein the aryl portion of the carbonyl-aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

R₅ is one substituent selected from the group consisting of

- (i) C₁₋₈alkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, aryl and heteroaryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano,

halogen, hydroxy and nitro; and

wherein said heteroaryl is optionally substituted on a secondary amine atom with C₁₋₈alkyl, and optionally and independently substituted on a carbon atom with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

(j) C₃₋₈cycloalkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,

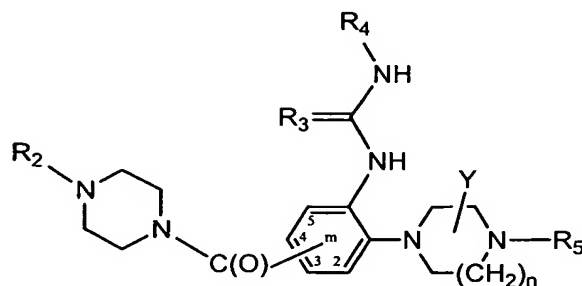
(k) aryl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

Y is one or more optionally present C₁₋₈alkyl substituents optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, C₃₋₈cycloalkyl, aryl and heteroaryl, wherein said C₃₋₈cycloalkyl, aryl and heteroaryl are optionally further substituted;

m is an integer from 2 to 5 which represents the carbon atom number corresponding to the point of attachment for the (4-R₂)-1-piperazinyl-C(O)- substituent moiety on the anilino ring of formula (Ib); and, n is an integer from 1 to 2.

25. The compound of claim 24, wherein when R₄ is optionally substituted C₁₋₈alkyl, then R₅ is C₁₋₈alkyl substituted on one or more carbon atoms with one or more optionally substituted aryl substituents.

26. The compound of claim 1, wherein the compound of formula (I) is selected from a compound of formula (Ib):



formula (Ib)

and enantiomers, diastereomers and pharmaceutically acceptable salts thereof, wherein:

(4-R₂)-1-piperazinyl-C(O)- is a substituent moiety having a variable position “m”,

- 5 wherein “m” represents a carbon atom number corresponding to a point of attachment for the (4-R₂)-1-piperazinyl-C(O)- substituent moiety on the anilino ring of formula (Ib);

- R₂ is selected from the group consisting of hydrogen and C₁₋₈alkyl, wherein C₁₋₈alkyl is
10 optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₈)alkylamino, di(C₁₋₈)alkylamino, cyano, halogen, hydroxy, nitro and carboxyl;

- R₃ is selected from the group consisting of O and S;

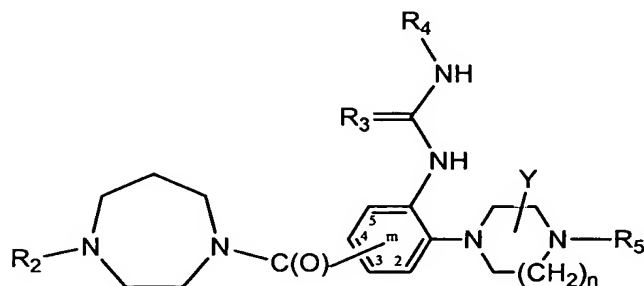
- 15 R₄ is selected from the group consisting of

- (a) C₁₋₈alkyl optionally substituted with one or two substituents independently
20 selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (b) carbonyl(C₁₋₈)alkyl, wherein the C₁₋₈alkyl portion of the carbonyl(C₁₋₈)alkyl is
25 optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally

- substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (c) carbonyl(C₂₋₈)alkenyl, wherein the C₂₋₈alkenyl portion of the carbonyl(C₂₋₈)alkenyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (d) C₃₋₈cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (e) benzofused dioxolyl;
- (f) benzofused dioxinyl;
- (g) aryl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,
- (h) carbonyl-aryl, wherein the aryl portion of the carbonyl-aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- R₅ is one substituent selected from the group consisting of
- (i) C₁₋₈alkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, aryl and heteroaryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and

- wherein said heteroaryl is optionally substituted on a secondary amine atom with C₁₋₈alkyl, and optionally and independently substituted on a carbon atom with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- 5 (j) C₃₋₈cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,
- 10 (k) aryl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- Y is one or two optionally present C₁₋₈alkyl substituents optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, C₃₋₈cycloalkyl, aryl and heteroaryl, wherein said C₃₋₈cycloalkyl, aryl and heteroaryl are optionally further substituted;
- 15
- 20 m is an integer from 2 to 5 which represents the carbon atom number corresponding to the point of attachment for the (4-R₂)-1-piperazinyl-C(O)- substituent moiety on the anilino ring of formula (Ib); and, n is an integer from 1 to 2.
27. The compound of claim 26, wherein when R₄ is optionally substituted C₁₋₈alkyl, then R₅ is C₁₋₈alkyl substituted on one carbon atom with one or two optionally substituted aryl substituents.
- 25

28. The compound of claim 1, wherein the compound of formula (I) is selected from a compound of formula (Ic):



formula (Ic)

and enantiomers, diastereomers and pharmaceutically acceptable salts thereof, wherein:

(4-R₂)-hexahydro-1H-1,4-diazepin-1-yl-C(O)- is a substituent moiety having a variable position “m”, wherein “m” represents a carbon atom number corresponding to a point of attachment for the (4-R₂)-hexahydro-1H-1,4-diazepin-1-yl-C(O)- substituent moiety on the anilino ring of formula (Ic);

R₂ is selected from the group consisting of hydrogen and C₁₋₈alkyl, wherein C₁₋₈alkyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₈)alkylamino, di(C₁₋₈)alkylamino, cyano, halogen, hydroxy, nitro and carboxyl;

R₃ is selected from the group consisting of O and S;

R₄ is selected from the group consisting of

- (a) C₁₋₈alkyl optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (b) carbonyl(C₁₋₈)alkyl, wherein the C₁₋₈alkyl portion of the carbonyl(C₁₋₈)alkyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally

- substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (c) carbonyl(C₂₋₈)alkenyl, wherein the C₂₋₈alkenyl portion of the carbonyl(C₂₋₈)alkenyl is optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (d) C₃₋₈cycloalkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (e) benzofused dioxolyl;
- (f) benzofused dioxinyl;
- (g) aryl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,
- (h) carbonyl-aryl, wherein the aryl portion of the carbonyl-aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

R₅ is one substituent selected from the group consisting of

- (i) C₁₋₈alkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, aryl and heteroaryl, wherein said aryl is optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano,

halogen, hydroxy and nitro; and

wherein said heteroaryl is optionally substituted on a secondary amine atom with C₁₋₈alkyl, and optionally and independently substituted on a carbon atom with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

(j) C₃₋₈cycloalkyl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,

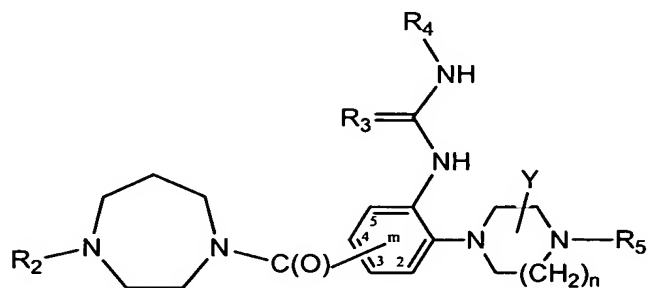
(k) aryl optionally substituted with one or more substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

Y is one or more optionally present C₁₋₈alkyl substituents optionally substituted with one or more substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, C₃₋₈cycloalkyl, aryl and heteroaryl, wherein said C₃₋₈cycloalkyl, aryl and heteroaryl are optionally further substituted;

m is an integer from 2 to 5 which represents the carbon atom number corresponding to the point of attachment for the (4-R₂)-hexahydro-1H-1,4-diazepin-1-yl-C(O)-substituent moiety on the anilino ring of formula (Ic); and, n is an integer from 1 to 2.

29. The compound of claim 28, wherein when R₄ is optionally substituted C₁₋₈alkyl, then R₅ is C₁₋₈alkyl substituted on one or more carbon atoms with one or more optionally substituted aryl substituents.

30. The compound of claim 1, wherein the compound of formula (I) is selected from a compound of formula (Ic):



formula (Ic)

and enantiomers, diastereomers and pharmaceutically acceptable salts thereof, wherein:

- 5 (4-R₂)-hexahydro-1H-1,4-diazepin-1-yl-C(O)- is a substituent moiety having a variable position “m”, wherein “m” represents a carbon atom number corresponding to a point of attachment for the (4-R₂)-hexahydro-1H-1,4-diazepin-1-yl-C(O)- substituent moiety on the anilino ring of formula (Ic);

- 10 R₂ is selected from the group consisting of hydrogen and C₁₋₈alkyl, wherein C₁₋₈alkyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₈)alkylamino, di(C₁₋₈)alkylamino, cyano, halogen, hydroxy, nitro and carboxyl;

- 15 R₃ is selected from the group consisting of O and S;

R₄ is selected from the group consisting of

- (a) C₁₋₈alkyl optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is
20 optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (b) carbonyl(C₁₋₈)alkyl, wherein the C₁₋₈alkyl portion of the carbonyl(C₁₋₈)alkyl is
25 optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally

- substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (c) carbonyl(C₂₋₈)alkenyl, wherein the C₂₋₈alkenyl portion of the carbonyl(C₂₋₈)alkenyl is optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro and aryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (d) C₃₋₈cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- (e) benzofused dioxolyl;
- (f) benzofused dioxinyl;
- (g) aryl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,
- (h) carbonyl-aryl, wherein the aryl portion of the carbonyl-aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;
- R₅ is one substituent selected from the group consisting of
- (i) C₁₋₈alkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, aryl and heteroaryl, wherein said aryl is optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and

wherein said heteroaryl is optionally substituted on a secondary amine atom with C₁₋₈alkyl, and optionally and independently substituted on a carbon atom with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

(j) C₃₋₈cycloalkyl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro; and,

(k) aryl optionally substituted with one or two substituents independently selected from the group consisting of C₁₋₈alkyl, C₁₋₈alkoxy, amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy and nitro;

Y is one or two optionally present C₁₋₈alkyl substituents optionally substituted with one or two substituents independently selected from the group consisting of amino, mono(C₁₋₄)alkylamino, di(C₁₋₄)alkylamino, cyano, halogen, hydroxy, nitro, C₃₋₈cycloalkyl, aryl and heteroaryl, wherein said C₃₋₈cycloalkyl, aryl and heteroaryl are optionally further substituted;

m is an integer from 2 to 5 which represents the carbon atom number corresponding to the point of attachment for the (4-R₂)-hexahydro-1H-1,4-diazepin-1-yl-C(O)-substituent moiety on the anilino ring of formula (Ic); and, n is an integer from 1 to 2.

31. The compound of claim 30, wherein when R₄ is optionally substituted C₁₋₈alkyl, then R₅ is C₁₋₈alkyl substituted on one carbon atom with one or two optionally substituted aryl substituents.

32. A compound selected from the group consisting of:

4-[4-(2-methoxyphenyl)-1-piperazinyl]-3-[[[(phenylamino)carbonyl]amino]-benzamide,

3-[[[(phenylamino)carbonyl]amino]-4-[4-(phenylmethyl)-1-piperazinyl]-benzamide,

4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-3-
[[phenylamino]carbonyl]amino]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-3-[[[(2-
fluorophenyl)amino]carbonyl]amino]-benzamide,
4-[4-(diphenylmethyl)-1-piperazinyl]-3-[[[(4-nitrophenyl)amino]carbonyl]amino]-
benzamide,
4-[4-(diphenylmethyl)-1-piperazinyl]-3-[[[(phenylmethyl)amino]carbonyl]amino]-
benzamide,
3-[[[(3,5-dimethylphenyl)amino]carbonyl]amino]-4-[4-(diphenylmethyl)-1-
piperazinyl]-benzamide,
4-[4-(diphenylmethyl)-1-piperazinyl]-3-[[phenylamino]carbonyl]amino]-
benzamide,
4-[4-(9H-fluoren-9-yl)-1-piperazinyl]-3-[[phenylamino]carbonyl]amino]-
benzamide,
3-[[cyclohexylamino]carbonyl]amino]-4-[4-(diphenylmethyl)-1-piperazinyl]-
benzamide,
4-[4-(diphenylmethyl)-1-piperazinyl]-3-[[[(1S)-1-
phenylethyl]amino]carbonyl]amino]-benzamide,
3-[[butylamino]carbonyl]amino]-4-[4-(diphenylmethyl)-1-piperazinyl]-benzamide,
4-[4-(diphenylmethyl)-1-piperazinyl]-3-[[[(4-fluorophenyl)amino]carbonyl]amino]-
benzamide,
3-[[[(1,3-benzodioxol-5-ylamino)carbonyl]amino]-4-[4-[bis(4-fluorophenyl)methyl]-
1-piperazinyl]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-3-[[[(2,4-
dimethylphenyl)amino]carbonyl]amino]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-3-[[[(1-
phenylethyl)amino]carbonyl]amino]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-3-[[[(2-
methoxyphenyl)amino]carbonyl]amino]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-3-[[[(2,4-
dimethoxyphenyl)amino]carbonyl]amino]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-3-[[[[4-
(dimethylamino)phenyl]amino]carbonyl]amino]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-3-[[[(4-
methoxyphenyl)amino]carbonyl]amino]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-3-
[[[(phenylmethyl)amino]thioxomethyl]amino]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-3-
[[phenylamino]thioxomethyl]amino]-benzamide,

N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-[(4-methyl-1-piperazinyl)carbonyl]phenyl]-*N'*-phenylurea,
N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-[(hexahydro-1*H*-1,4-diazepin-1-yl)carbonyl]phenyl]-*N'*-phenylurea,
N-cyclohexyl-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-[(hexahydro-1*H*-1,4-diazepin-1-yl)carbonyl]-phenyl]urea,
N-(2-aminoethyl)-4-[4-(diphenylmethyl)-1-piperazinyl]-3-[[phenylamino)carbonyl]amino]-benzamide,
N-(2-aminoethyl)-3-[[cyclohexylamino)carbonyl]amino]-4-[4-(diphenylmethyl)-1-piperazinyl]-benzamide,
N-cyclohexyl-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-[(4-methyl-1-piperazinyl)carbonyl]-phenyl]urea,
N-[2-(dimethylamino)ethyl]-4-[4-(diphenylmethyl)-1-piperazinyl]-3-[[phenylamino)carbonyl]amino]-benzamide,
3-[[cyclohexylamino)carbonyl]amino]-*N*-[2-(dimethylamino)ethyl]-4-[4-(diphenylmethyl)-1-piperazinyl]-benzamide,
N-cyclohexyl-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-[(hexahydro-4-methyl-1*H*-1,4-diazepin-1-yl)carbonyl]phenyl]-urea,
N-[4-[4-(diphenylmethyl)-1-piperazinyl]-3-[[phenylamino)carbonyl]amino]benzoyl]-*L*-leucine,
N-cyclohexyl-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,
N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-(phenylmethyl)urea,
N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-phenylurea,
N-(2,4-dimethylphenyl)-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,
N-(3,5-dimethylphenyl)-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,
N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-(4-methoxyphenyl)urea,
N-[2-[4-(9*H*-fluoren-9-yl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-phenylurea,
N-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-cyclohexyl-urea,
N-[2-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-phenylurea,
N-[2-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-cyclohexylurea,

N-phenyl-*N'*-[2-[4-(1-phenylethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,

N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-phenylurea,

N-phenyl-*N'*-[2-[4-(phenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,

N-[2-(4-cyclohexyl-1-piperazinyl)-5-(1-piperazinylcarbonyl)phenyl]-*N'*-phenylurea,
N-cyclohexyl-*N'*-[2-[4-(phenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,

N-cyclohexyl-*N'*-[2-[4-(1-phenylethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,

N-cyclohexyl-*N'*-[2-(4-cyclohexyl-1-piperazinyl)-5-(1-piperazinylcarbonyl)phenyl]-urea,

N-cyclohexyl-*N'*-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,

N-butyl-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,

N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-(2-fluorophenyl)urea,

N-[4-(dimethylamino)phenyl]-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,

N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-(2-methoxyphenyl)-urea,

N-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-phenyl-urea,

N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-[(2*E*)-1-oxo-3-phenyl-2-propenyl]-urea,

N-(1,1-dimethylethyl)-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,

N-cyclopentyl-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-urea,

N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-[(1*S*)-1-phenylethyl]-urea,

N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-(phenylmethyl)thiourea,

N-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-*N'*-(1-methylethyl)urea,

N-(4-chlorobenzoyl)-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-5-(1-piperazinylcarbonyl)phenyl]-thiourea,

4-[4-[(4-fluorophenyl)-4-pyridinylmethyl]-1-piperazinyl]-3-
[[phenylamino]carbonyl]amino]-benzamide,
3-[[[(cyclohexylamino)carbonyl]amino]-4-[4-[(4-fluorophenyl)-4-pyridinylmethyl]-
1-piperazinyl]-benzamide,
4-[4-[(4-fluorophenyl)-4-pyridinylmethyl]hexahydro-1*H*-1,4-diazepin-1-yl]-3-
[[phenylamino]carbonyl]amino]-benzamide,
3-[[[(cyclohexylamino)carbonyl]amino]-4-[4-[(4-fluorophenyl)-4-
pyridinylmethyl]hexahydro-1*H*-1,4-diazepin-1-yl]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]hexahydro-1*H*-1,4-diazepin-1-yl]-3-
[[phenylamino]carbonyl]amino]-benzamide,
4-[4-[bis(4-fluorophenyl)methyl]hexahydro-1*H*-1,4-diazepin-1-yl]-3-
[[cyclohexylamino]carbonyl]amino]-benzamide,
N-[2-[4-[bis(4-fluorophenyl)methyl]hexahydro-1*H*-1,4-diazepin-1-yl]-4-(1-
piperazinylcarbonyl)phenyl]-*N'*-phenyl-urea,
N-[2-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-4-(1-
piperazinylcarbonyl)phenyl]-*N'*-phenyl-urea,
N-[2-[4-(diphenylmethyl)-1-piperazinyl]-4-(1-piperazinylcarbonyl)phenyl]-*N'*-
phenyl-urea,
N-cyclohexyl-*N'*-[2-[4-(diphenylmethyl)-1-piperazinyl]-4-(1-
piperazinylcarbonyl)phenyl]-urea, and
3-[4-(diphenylmethyl)-1-piperazinyl]-4-[[phenylamino]carbonyl]amino]-
benzamide.

33. A composition comprising a pharmaceutically acceptable carrier, excipient, tableting ingredient or diluent and the compound of claim 1.
- 5 34. A method of treating or preventing a disease or condition in a subject which disease or condition is affected by phospholipase modulation, which method comprises administering to the subject in need of such treatment or prevention a therapeutically effective amount of the compound of claim 1.
- 10 35. The method of claim 34, wherein the method further comprises administering to the subject in need of such treatment or prevention a therapeutically effective amount of the composition of claim 33.
- 15 36. A method of treating or ameliorating an inflammatory disorder in a subject in need thereof comprising administering to the subject a therapeutically effective

amount of the compound of claim 1.

37. The method of claim 36, wherein the method further comprises administering to the subject a therapeutically effective amount of the composition of claim 33.

5

38. A method of treating or ameliorating restenosis in a subject in need thereof comprising administering to the subject a therapeutically effective amount of the compound of claim 1 by impregnating the therapeutically effective amount of said compound on the surface of a medical device and administering the medical device to the subject.

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39. The method of claim 38, wherein the method further comprises a therapeutically effective amount of the composition of claim 33 impregnated on the surface of said medical device.

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